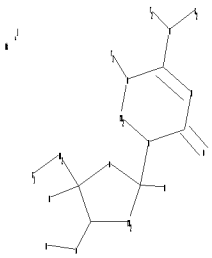
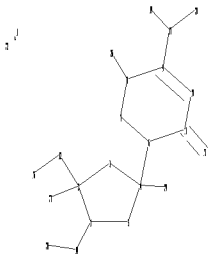
```
     FILE 'REGISTRY' ENTERED AT 15:58:07 ON 01 JUN 2009
L1              STRUCTURE UPLOADED
L2            0 S L1
L3              STRUCTURE UPLOADED
L4            0 S L3
L5           23 S L3 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 16:00:15 ON 01 JUN 2009
L6           22 S L5

     FILE 'REGISTRY' ENTERED AT 16:22:07 ON 01 JUN 2009
L7              STRUCTURE UPLOADED
L8            0 S L7
L9            0 S L7  SUB=L5 FULL
```

```
=> file registry
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.22       0.22

FILE 'REGISTRY' ENTERED AT 15:58:07 ON 01 JUN 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   31 MAY 2009  HIGHEST RN 1151391-70-6
DICTIONARY FILE UPDATES:  31 MAY 2009  HIGHEST RN 1151391-70-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10670915amended.str
```

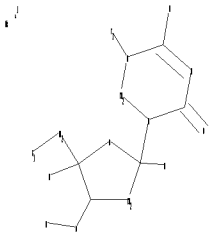


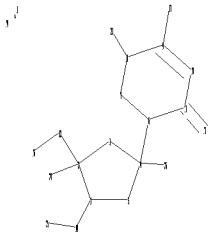


chain nodes :
12 13 14 16 17 19 20 22 26 27 28 29
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
1-14 2-12 2-27 4-6 4-29 8-26 9-17 11-13 12-16 14-28 17-19 17-20
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
1-2 1-5 1-14 2-3 3-4 4-5 4-6 6-7 6-11 7-8 8-9 8-26 9-10 9-17 10-11
11-13 12-16 17-19 17-20
exact bonds :
2-12 2-27 4-29 14-28

G1:H,P,Ak

```
G2:H,Ak

G3:H,[*1]

Connectivity :
22:1 X maximum RC ring/chain
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:CLASS  13:CLASS  14:CLASS  16:CLASS  17:CLASS  19:CLASS  20:CLASS
22:CLASS  26:CLASS
27:CLASS  28:CLASS  29:CLASS
Generic attributes :
22:
Saturation             : Saturated




L1      STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 15:58:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     1908 TO ITERATE

100.0% PROCESSED     1908 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         35540 TO    40780
PROJECTED ANSWERS:                0 TO        0

L2            0 SEA SSS SAM L1

=>
Uploading C:\Program Files\STNEXP\Queries\10670915amended2.str
```



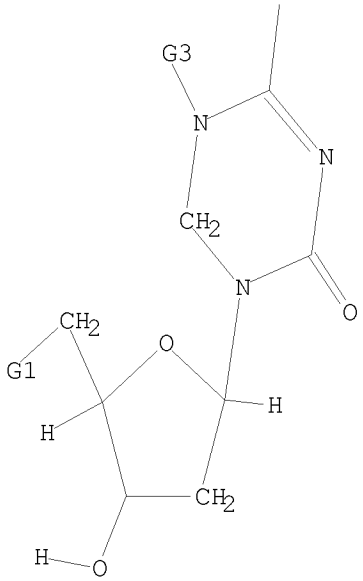


chain nodes :
12 13 14 16 17 19 23 24 25 26
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
1-14 2-12 2-24 4-6 4-26 8-23 9-17 11-13 12-16 14-25
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
1-2 1-5 1-14 2-3 3-4 4-5 4-6 6-7 6-11 7-8 8-9 8-23 9-10 9-17 10-11
11-13 12-16
exact bonds :
2-12 2-24 4-26 14-25

G1:H,P,Ak

```
G2:H,Ak

G3:H,[*1]

Connectivity :
19:1 X maximum RC ring/chain
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:CLASS  13:CLASS  14:CLASS  16:CLASS  17:CLASS  19:CLASS  23:CLASS
24:CLASS  25:CLASS
26:CLASS
Generic attributes :
19:
Saturation             : Saturated




L3      STRUCTURE UPLOADED

=> s l3
SAMPLE SEARCH INITIATED 15:59:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     1908 TO ITERATE

100.0% PROCESSED     1908 ITERATIONS                              0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        35540 TO    40780
PROJECTED ANSWERS:               0 TO        0

L4            0 SEA SSS SAM L3

=> d l3
L3 HAS NO ANSWERS
L3              STR
```

Ak[1]



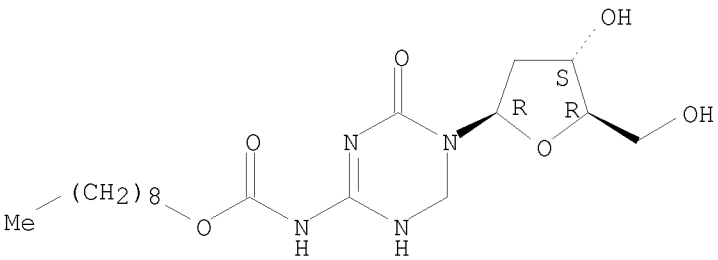
G1 H,P,Ak

G2 H,Ak

G3 H,[@1]

Structure attributes must be viewed using STN Express query preparation.

```
=> s l3 sss full
FULL SEARCH INITIATED 15:59:57 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    39360 TO ITERATE

100.0% PROCESSED    39360 ITERATIONS                                23 ANSWERS
SEARCH TIME: 00.00.04

L5            23 SEA SSS FUL L3

=> d l5 scan

L5   23 ANSWERS   REGISTRY  COPYRIGHT 2009 ACS on STN
IN   Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-
     tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, nonyl ester (9CI)
MF   C18 H32 N4 O6

Absolute stereochemistry.
```

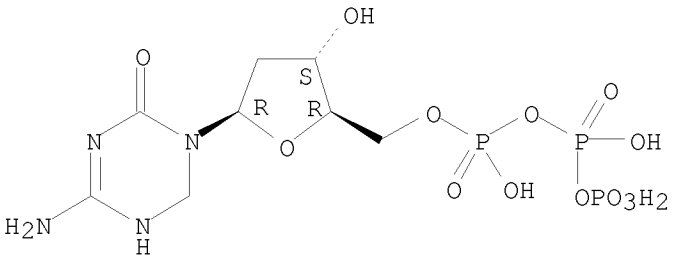


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L5 23 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1,3,5-Triazin-2(1H)-one, 4-amino-1-[2-deoxy-5-O-[hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]phosphinyl]-β-D-erythro-pentofuranosyl]-3,6-dihydro-
MF C8 H17 N4 O13 P3

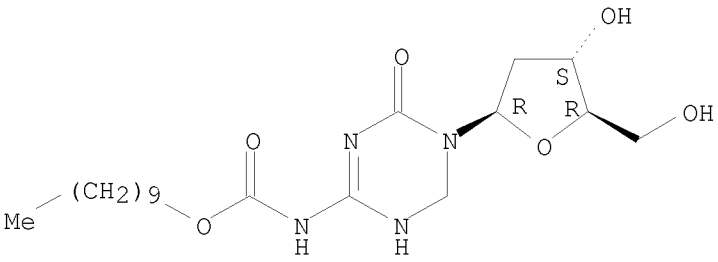
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 23 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, decyl ester (9CI)
MF C19 H34 N4 O6

Absolute stereochemistry.



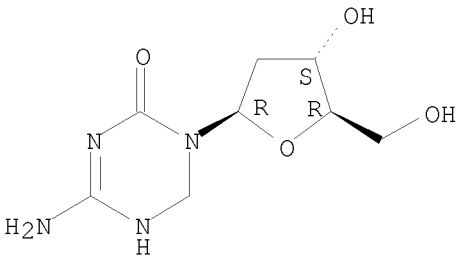
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 23 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro-, acetate (9CI)

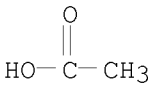
MF C8 H14 N4 O4 . x C2 H4 O2

CM 1

Absolute stereochemistry.



CM 2



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	187.32	187.54

FILE 'HCAPLUS' ENTERED AT 16:00:15 ON 01 JUN 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 1 Jun 2009 VOL 150 ISS 23
FILE LAST UPDATED: 31 May 2009 (20090531/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2009

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l5
L6 22 L5

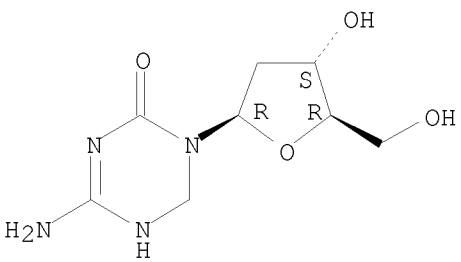
=> d l6 1-22 ti abs bib hitstr

L6 ANSWER 1 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Epigenetic mechanisms re-establish access to long-term memory after neuronal loss
AB The invention relates to methods and products for enhancing and improving recovery of lost memories. In particular the methods are accomplished through the increase of histone acetylation. One aspect of the invention is the increase in histone acetylation through the administration of inhibitors of histone deacetylase (HDAC). In some embodiments the method comprises altering the methylation level of one or more genes. In some embodiments altering the methylation level of one or more genes comprises administering a DNA methylation inhibitor.
AN 2008:1457344 HCAPLUS <<LOGINID::20090601>>
DN 150:28982
TI Epigenetic mechanisms re-establish access to long-term memory after neuronal loss
IN Tsai, Li-Huei; Fischer, Andre; Haggarty, Stephen; Tang, Weiping
PA Massachusetts Institute of Technology, USA; President and Fellows of Harvard College; The General Hospital Corporation
SO U.S. Pat. Appl. Publ., 64pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080300205	A1	20081204	US 2007-998834	20071130
PRAI US 2006-861883P	P	20061130		

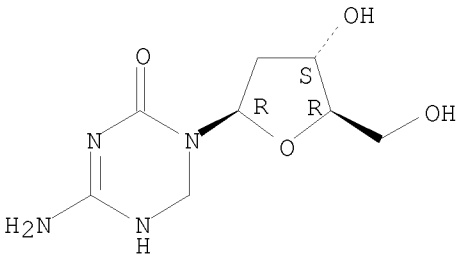
OS MARPAT 150:28982
IT 114522-16-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(epigenetic mechanisms re-establish access to long-term memory after neuronal loss by increasing histone acetylation or inhibiting DNA methylation)
RN 114522-16-6 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



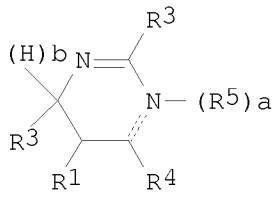
L6 ANSWER 2 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Therapeutically targeting RNA viruses via lethal mutagenesis
AB A review. RNA viruses exhibit increased mutation frequencies relative to other organisms. Recent work has attempted to exploit this unique feature by increasing the viral mutation frequency beyond an extinction threshold, an antiviral strategy known as lethal mutagenesis. A number of novel nucleoside analogs have been designed around this premise. Herein, we review the quasispecies nature of RNA viruses and survey the antiviral, biol. and biochem. characteristics of mutagenic nucleoside analogs, including clin.-used ribavirin. Biol. implications of modulating viral replication fidelity are discussed in the context of translating lethal mutagenesis into a clin.-useful antiviral strategy.
AN 2008:1291348 HCAPLUS <<LOGINID::20090601>>
DN 150:388629
TI Therapeutically targeting RNA viruses via lethal mutagenesis
AU Graci, Jason D.; Cameron, Craig E.
CS PTC Therapeutics, Inc., South Plainfield, NJ, 07080, USA
SO Future Virology (2008), 3(6), 553-566
CODEN: FVUIAM; ISSN: 1746-0794
PB Future Medicine Ltd.
DT Journal; General Review
LA English
IT 114522-16-6, KP 1212
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nucleoside analog KP-1212 induce lethal mutagenesis by modulating viral replication fidelity and may be useful as antiviral strategy for targeting RNA virus)
RN 114522-16-6 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

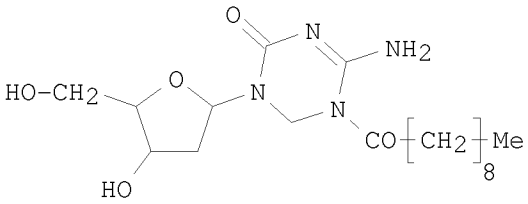


RE.CNT 103 THERE ARE 103 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of antiviral and anti-cancer chemotherapeutic hydrophobic prodrugs of bases, nucleosides, and nucleotides
GI



I



II

AB The present invention provides as well as methods of using the prodrugs as agents. The preparation of chemotherapeutic hydrophobic prodrugs of bases, nucleosides, and nucleotides I, wherein a is either 0 or 1; b is 0 or 1; R1 is a (un)substituted furanoside; R2 can be =O, (un)substituted amino, or (un)substituted ethers; R3 can be H, halo, ethers, nitrile, or (un)substituted alkyl; R4 can be H, halo, (un)substituted alkyl, ether, etc.; R5 can be H, ether, halo, (un)substituted cycloalkyl, acyl, aryl or the like is presented. Thus, II was prepared and tested as antiviral and anti-cancer chemotherapeutic hydrophobic prodrugs (no data). Further, I can be successfully employed, but not limited to treating HIV-1, cancers such as breast, ovarian or colon neoplasms, or leukemia.

AN 2008:1222421 HCAPLUS <<LOGINID::20090601>>

DN 149:448685

TI Preparation of antiviral and anti-cancer chemotherapeutic hydrophobic prodrugs of bases, nucleosides, and nucleotides

IN Daifuku, Richard; Gall, Alexander; Sergueev, Dmitri; Sologub, Dina; Harris, Kevin

PA Koronis Pharmaceuticals, Incorporation, USA

SO U.S. Pat. Appl. Publ., 27pp., Cont.-in-part of U.S. Ser. No. 816,161. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20080249097	A1	20081009	US 2006-616646	20061227
	US 20050014752	A1	20050120	US 2004-816161	20040331
	US 7244732	B2	20070717		
	US 20070219200	A1	20070920	US 2007-749008	20070515
PRAI	US 2003-480037P	P	20030620		
	US 2004-816161	A2	20040331		

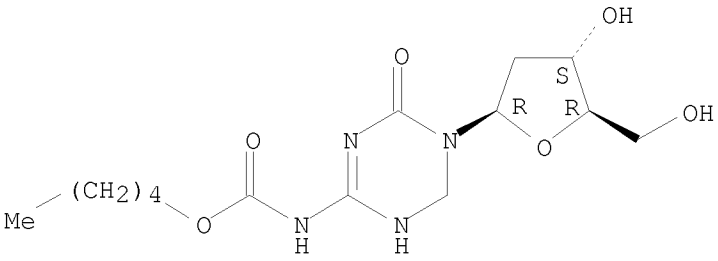
OS MARPAT 149:448685

IT 815588-83-1P 815588-84-2P 815588-85-3P
815588-86-4P 815588-87-5P 815588-88-6P
815588-89-7P 815588-90-0P 815588-91-1P
1067910-76-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antiviral and anti-cancer activities of chemotherapeutic hydrophobic prodrugs of bases, nucleosides, and nucleotides)

RN 815588-83-1 HCAPLUS

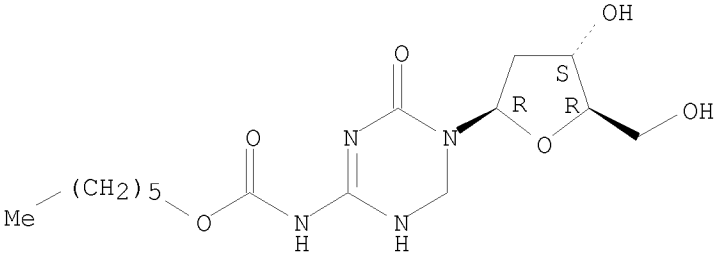
CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, pentyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



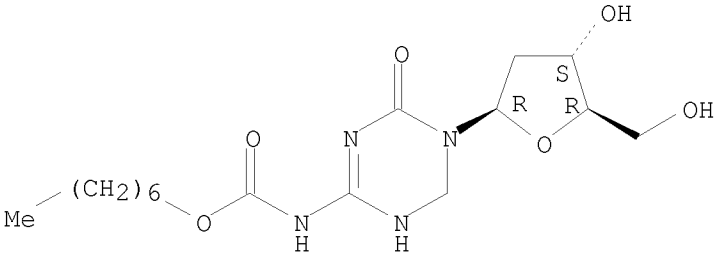
RN 815588-84-2 HCAPLUS
CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, hexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



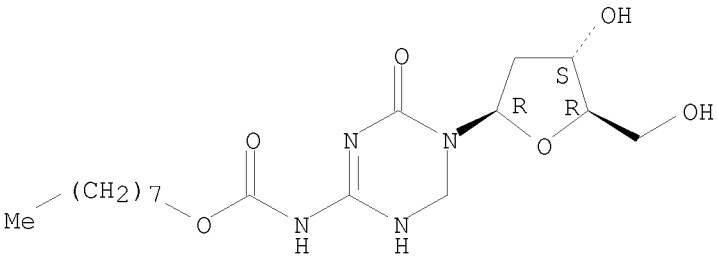
RN 815588-85-3 HCAPLUS
CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, heptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



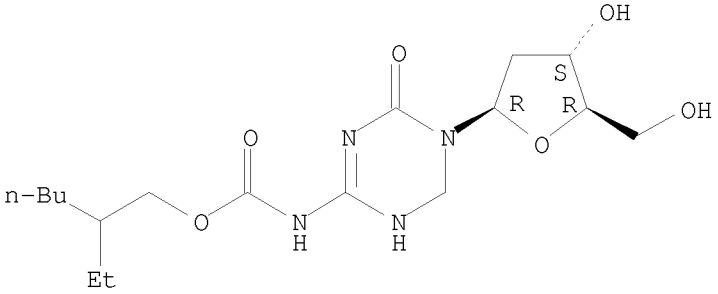
RN 815588-86-4 HCAPLUS
CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, octyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



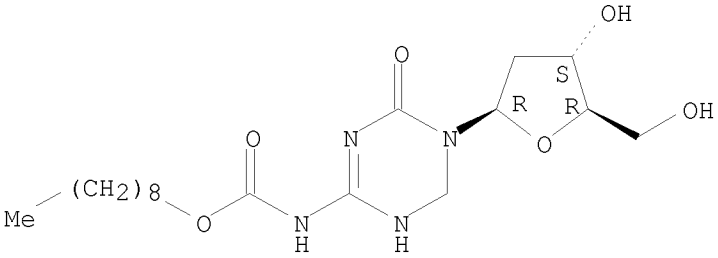
RN 815588-87-5 HCAPLUS
CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, 2-ethylhexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



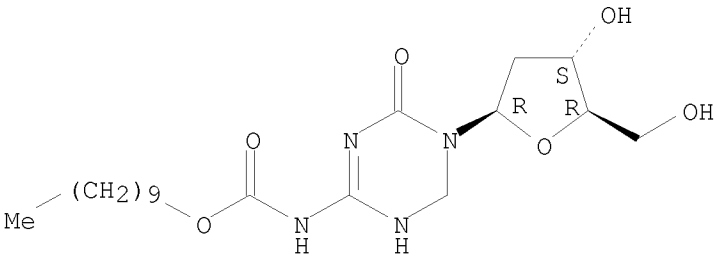
RN 815588-88-6 HCAPLUS
CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, nonyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



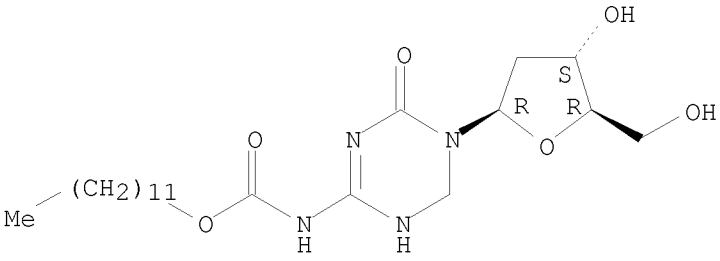
RN 815588-89-7 HCAPLUS
CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, decyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



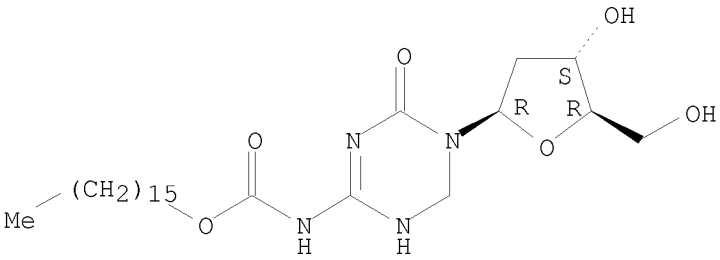
RN 815588-90-0 HCAPLUS
CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, dodecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



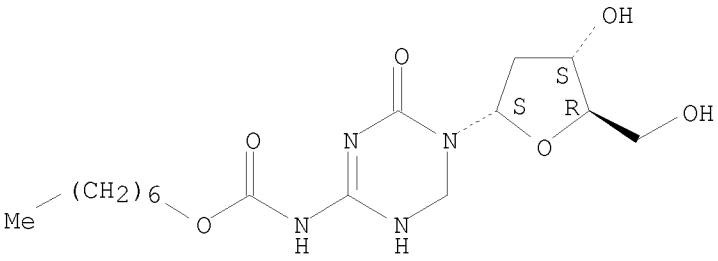
RN 815588-91-1 HCAPLUS
CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, hexadecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



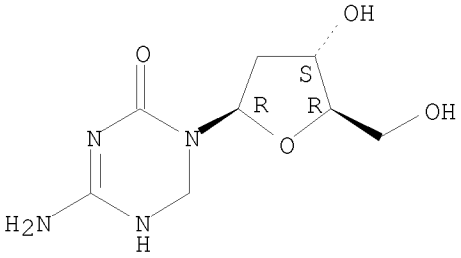
RN 1067910-76-2 HCAPLUS
CN Carbamic acid, N-[5-(2-deoxy-α-D-erythro-pentofuranosyl)-3,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, heptyl ester (CA INDEX NAME)

Absolute stereochemistry.



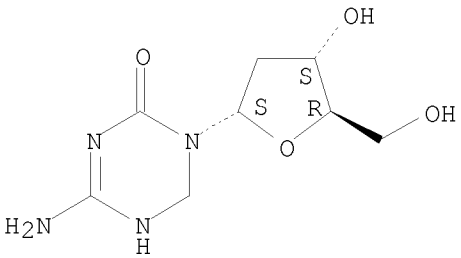
IT 114522-16-6 114522-17-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of antiviral and anti-cancer activities of chemotherapeutic hydrophobic prodrugs of bases, nucleosides, and nucleotides)
RN 114522-16-6 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

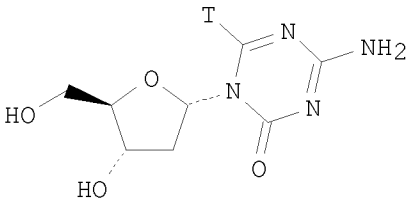


RN 114522-17-7 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-α-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 4 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of α-5-Aza-2'-deoxy-[6-3H]cytidine
GI



I

AB α-5-Aza-2'-deoxy cytidine was labeled by tritium on the C-6 of the heterocyclic triazine ring. The structure of the α-5-aza-2'-deoxy-[6-3H]cytidine I and the position of the label was proved by 3H and 1H NMR. The specific activity was 0.71 TBq mmol-1 (19.2 Ci mmol-1) and radio-chemical purity was >99%. The long term stability of the product during the storage at -21 and -72 °C was followed by radio-HPLC.

AN 2008:947751 HCAPLUS <<LOGINID::20090601>>

DN 150:398827

TI Preparation of α-5-Aza-2'-deoxy-[6-3H]cytidine

AU Elbert, Tomas; Cerny, Bohuslav

CS Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic, Prague, 16610/6, Czech Rep.

SO Collection of Czechoslovak Chemical Communications (2008), 73(5), 701-704
CODEN: CCCCAK; ISSN: 0010-0765

PB Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic

DT Journal

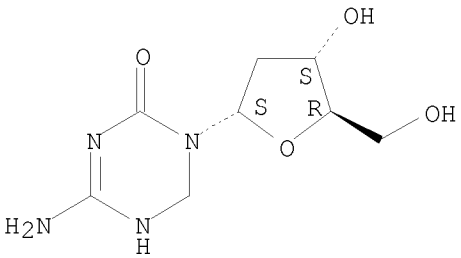
LA English

IT 114522-17-7P 1140527-40-7P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of α-5-aza-2'-deoxy-[6-3H]cytidine from α-5-aza-2'-deoxycytidine)

RN 114522-17-7 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-α-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

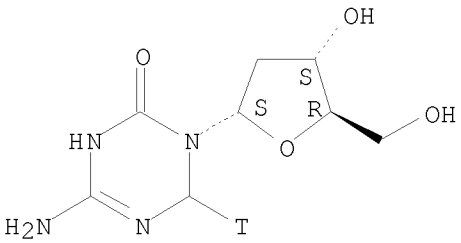
Absolute stereochemistry.



RN 1140527-40-7 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one-6-t, 4-amino-1-(2-deoxy-α-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



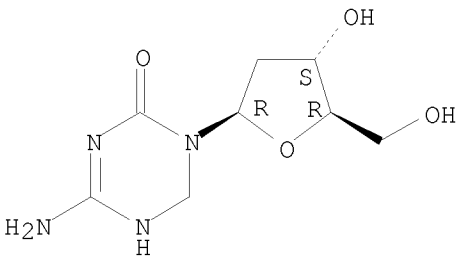
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Antiretroviral combination therapy
AB The present invention provides combinations comprising a viral maturation inhibitor and another therapeutically effective pharmaceutical agent. The invention is also directed to methods of treating a viral infection by administering such combinations. Thus, tablet was prepared containing lamivudine 100 mg, potassium clavulanate 62.5 mg, magnesium stearate 17.5 mg, citric acid anhydrous 48.0 mg, sodium bicarbonate 62.5 mg, silica gel desiccant 37.5 mg, PVP crosslinked dried 72 mg and microcryst. cellulose 150 mg.
AN 2008:191501 HCAPLUS <<LOGINID::20090601>>
DN 148:222045
TI Antiretroviral combination therapy
IN Allaway, Graham; Kilgore, Nicole; Wild, Carl T.
PA Panacos Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 53pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

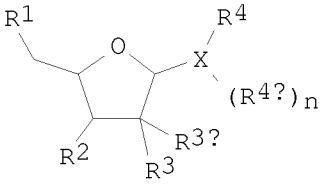
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080039428	A1	20080214	US 2007-822032	20070629
PRAI US 2006-817067P	P	20060629		

IT 114522-16-6
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(KP 1212; antiretroviral combination therapy)
RN 114522-16-6 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 6 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of mutagenic nucleosides as antiviral and antitumor chemotherapeutic agents
GI



I

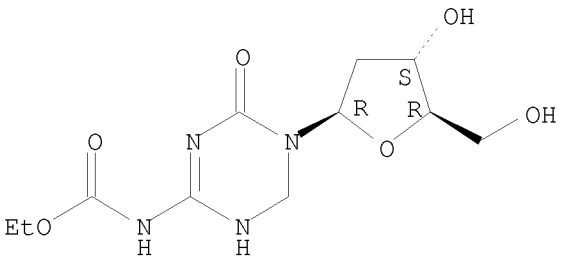
AB The present invention provides mutagenic nucleosides I, wherein R1 and R2 are members independently selected from H and OR5, wherein R5 is H, alkyl, acyl, heteroalkyl, aryl, substituted phosphate; R3 and R3a are independently selected from H, OR6, and halogen, wherein R6 is H, alkyl, heteroalkyl; X is N, CH, C-alkyl, C-heteroalkyl, C-hydroxyl, C-halogen, S, O; R4 is substituted amide, substituted pyrimidine, substituted triazole heterocycle; R4a is H, halogen, Hydroxyl, alkyl, heteroalkyl, CHO, substituted amide, CN, were prepared (no data) as antiviral and anti-cancer chemotherapeutic agents. The antiviral agent is a member selected from the group consisting of nucleoside/nucleotide reverse transcriptase inhibitors, non-nucleoside reverse transcriptase inhibitors, protease inhibitors, fusion inhibitors, integrate inhibitors, entry inhibitors, maturation inhibitors, and immune-based therapeutic agents.
AN 2008:40003 HCAPLUS <<LOGINID::20090601>>
DN 148:79270
TI Preparation of mutagenic nucleosides as antiviral and antitumor chemotherapeutic agents
IN Daifuku, Richard; Gall, Alexander; Sergueev, Dmitri
PA Koronis Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 31pp., Cont.-in-part of U.S. Ser. No. 579,751.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20080009496	A1	20080110	US 2006-616713	20061227
	WO 2005065150	A2	20050721	WO 2004-US41555	20041210
	WO 2005065150	A3	20070802		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA				
PRAI	US 2003-530934P	P	20031219		
	WO 2004-US41555	W	20041210		
	US 2006-579751	A2	20061107		

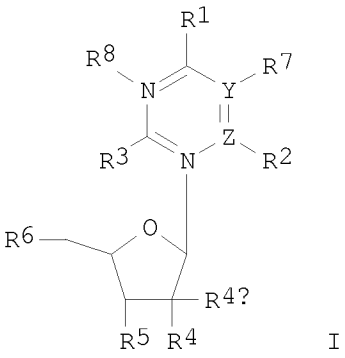
OS MARPAT 148:79270

IT 1010101-66-2
RL: PRPH (Prophetic); RCT (Reactant); RACT (Reactant or reagent)
(preparation of mutagenic nucleosides as antiviral and antitumor chemotherapeutic agents)
RN 1010101-66-2 HCAPLUS
CN Carbamic acid, N-[5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-6-oxo-1,3,5-triazin-2-yl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 7 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Treatment of viral diseases by 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof
GI



I

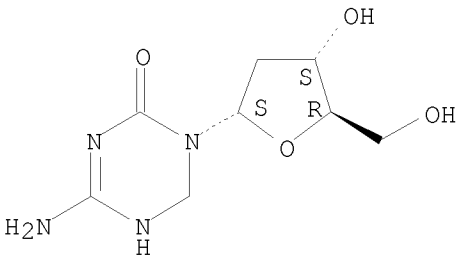
AB The invention discloses a genus of nucleoside or nucleotide analogs I, wherein Y = C, CH, N; Z = C, CH, B; R1 = H, acyl, OR9, SR9, substituted sec-amine, NHNH2, O, :NR9; R9 is H, alkyl, acyl, heteroalkyl, aryl; R2 = absent, H, acyl, alkyl, halogen, O, substituted o, substituted N; R3 = H, acyl, alkyl, substituted sec-amine, substituted oxime, substituted S, O, substituted O; R4, R4a = H, halo, OMe, OH; R5, R6 = H, OR14 (R14 = H, (un)substituted alkyl); R7, R8 = absent, H, acyl, alkyl; R1R8 together with the atom to which they are attached form cycloalkyl, heterocycloalkyl; were prepared for use as antiviral agents. In another aspect, the nucleoside and nucleotide analogs I are used to treat a viral disease by administering a therapeutically effective amount of I to patient with a viral disease which is caused by an RNA virus, a DNA virus, a retrovirus, or HIV. Thus, 2'-deoxy-5,6-dihydro-5-azacytidine palmitate was prepared and was tested in vitro and in rats and dogs as antiviral

agent.
AN 2007:993619 HCAPLUS <<LOGINID::20090601>>
DN 147:315014
TI Treatment of viral diseases by 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof
IN Daifuku, Richard; Gall, Alexander; Sergueev, Dmitri
PA Koronis Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 55pp., Cont.-in-part of U.S. Ser. No. 670,915. CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070207973	A1	20070906	US 2006-616693	20061227
	US 20040127436	A1	20040701	US 2003-670915	20030924
	US 20070142310	A1	20070621	US 2007-671964	20070206
PRAI	US 2002-413337P	P	20020924		
	US 2003-670915	A2	20030924		

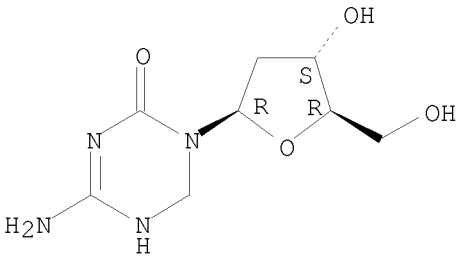
OS MARPAT 147:315014
IT 114522-17-7
RL: PRPH (Prophetic)
(Treatment of viral diseases by 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof)
RN 114522-17-7 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-α-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



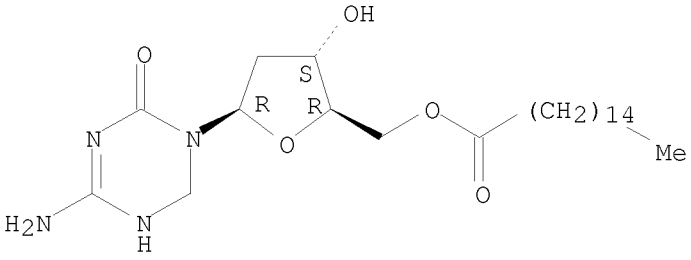
IT 114522-16-6P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(treatment of viral diseases 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof)
RN 114522-16-6 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



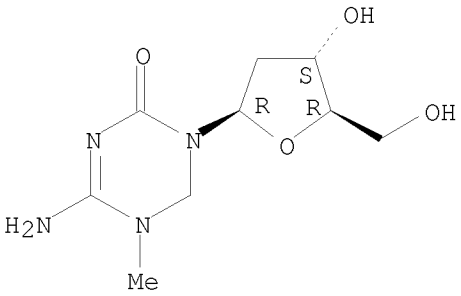
IT 676607-98-0P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(treatment of viral diseases 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof)
RN 676607-98-0 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-[2-deoxy-5-O-(1-oxohexadecyl)-β-D-erythro-pentofuranosyl]-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



IT 676607-96-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(treatment of viral diseases 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof)
RN 676607-96-8 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-5,6-dihydro-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 8 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN

TI Trans-differentiation of fibroblasts into hematopoietic and endothelial cells using demethylating agents, and cell therapy applications

AB The present provides methods for affecting and/or altering the differentiation state of a cell. In certain embodiments, the present invention provides methods to transdifferentiate a cell into an endothelial cell or a hematopoietic cell. In the practice of the invention, a demethylating agent (e.g., 5-azacytidine) is used to affect and/or alter the differentiation state of a cell. The invention demonstrates the transdifferentiation of numerous cell types, including cell populations that are themselves somewhat differentiated (e.g., normal fibroblasts) into distinct cell types, including hematopoietic cells and endothelial cells, which transdifferentiation is effected further through the selection of particular growth factors which, together with the demethylating agents, directs the differentiation path. The invention provides a novel approach to providing useful cell types for many types of medical applications (e.g., transplantation or cell therapy).

AN 2007:150677 HCAPLUS <<LOGINID::20090601>>
DN 146:201596

TI Trans-differentiation of fibroblasts into hematopoietic and endothelial cells using demethylating agents, and cell therapy applications

IN Estrov, Zeev; Strassman, Gideon
PA Board of Regents, The University of Texas System, USA

SO PCT Int. Appl., 49pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007016037	A2	20070208	WO 2006-US28701	20060724
WO 2007016037	A3	20070614		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

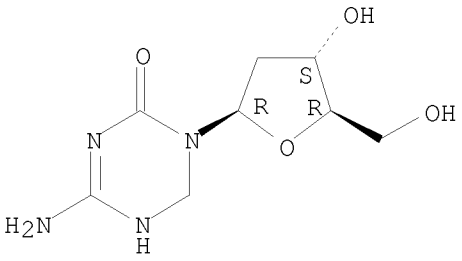
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRAI US 2005-702749P	P	20050727	
US 2005-729708P	P	20051024	
US 2005-734864P	P	20051109	

IT 114522-16-6
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(demethylating agent; trans-differentiation of fibroblasts into hematopoietic and endothelial cells using demethylating agents, and cell therapy applications)

RN 114522-16-6 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 9 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN

TI Physical Nature of Interactions within the Active Site of Cytosine-5-methyltransferase

AB The phys. nature of interactions within the active site of cytosine-5-methyltransferase (CMT) was studied using a variation-perturbation energy decomposition scheme defining a sequence of approx. intermol. interaction energy models. These models have been used to analyze the catalytic activity of residues constituting cytosine-5-methyltransferase active site as well their role in the binding group of de novo designed inhibitors. Our results indicate that Glu119, Arg163, and Arg165 appear to play the dominant role in stabilizing the protonated transition state structure and their influence can be qual. approximated by electrostatic interactions alone. The stabilization of neutral structures of the alternative reaction pathway is small, which might suggest the protonated pathway as preferred by the enzyme. Exchange and delocalization terms are negligible in most cases, or they cancel each other to some extent. Interactions of inhibitors with the CMT active site are dominated by electrostatic multipole contributions in analogy with previously studied transition state analog inhibitors of leucyl aminopeptidase.

AN 2006:64429 HCAPLUS <<LOGINID::20090601>>

DN 144:307309

TI Physical Nature of Interactions within the Active Site of Cytosine-5-methyltransferase

AU Forde, Gareth K.; Kedzierski, Pawel; Sokalski, W. Andrzej; Forde, Aviane E.; Hill, Glake A.; Leszczynski, Jerzy

CS Computational Center for Molecular Structure and Interactions, Jackson State University, Jackson, MS, 392171, USA

SO Journal of Physical Chemistry A (2006), 110(6), 2308-2313
CODEN: JPCAFH; ISSN: 1089-5639

PB American Chemical Society

DT Journal

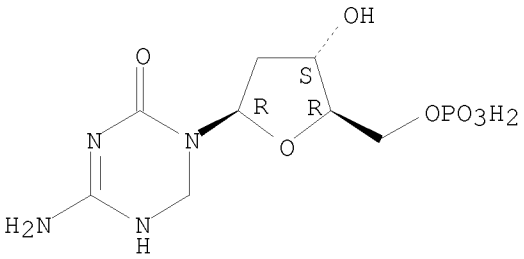
LA English

IT 879506-82-8
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(electrostatic interactions associated with active site residues Glu119, Arg163, and Arg165 have critical role in stabilizing protonated transition state structure of HhaI)

RN 879506-82-8 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-5-O-phosphono-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

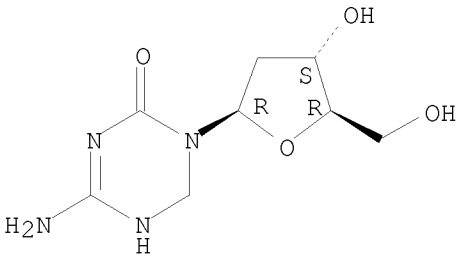
Absolute stereochemistry.



RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Mechanism of action of a novel viral mutagenic covert nucleotide: molecular interactions with HIV-1 reverse transcriptase and host cell DNA polymerases
AB A novel non-chain terminating nucleoside analog anti-HIV inhibitor, KP-1212 has been designed to form base pairs with multiple bases that may lead to mutagenesis in the HIV-1 viral genome. After multiple replication cycles, the accumulation of mutations surpasses a crucial threshold beyond which the virus can no longer replicate. HIV-1 reverse transcriptase (RT) incorporates the KP-1212 monophosphate into the genome during viral replication after metabolic activation of the KP-1212 nucleoside to the triphosphate. The propensity for forming alternate base pairs with the KP-1212 nucleotide leads to mismatched nucleotides and the subsequent misincorporation is the basis for the inhibitory activity. The results showed that HIV-1 RT and human mitochondrial DNA polymerase (Pol γ) incorporated KP-1212-TP with a significant level of efficiency, whereas mouse DNA polymerase β (Pol β) did not. Misincorporation studies suggest that both HIV-1 RT and Pol γ may cause mutations at significantly high rates. These in vitro data confirm the mechanistic basis of KP-1212 as a viral mutagen but suggest that there may be a potential for toxicity to the mitochondria.
AN 2005:512844 HCAPLUS <<LOGINID::20090601>>
DN 143:259549
TI Mechanism of action of a novel viral mutagenic covert nucleotide: molecular interactions with HIV-1 reverse transcriptase and host cell DNA polymerases
AU Murakami, Eisuke; Basavapathruni, Aravind; Bradley, William D.; Anderson, Karen S.
CS Department of Pharmacology, Yale University School of Medicine, New Haven, CT, 06520-8066, USA
SO Antiviral Research (2005), 67(1), 10-17
CODEN: ARSRDR; ISSN: 0166-3542
PB Elsevier B.V.
DT Journal
LA English
IT 114522-16-6, KP 1212
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(mechanism of action of antiviral mutagenic KP-1212)
RN 114522-16-6 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

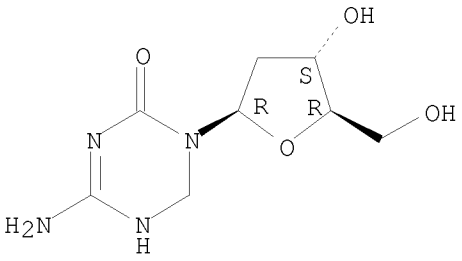
Absolute stereochemistry.



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
TI KP-1212/1461, a nucleoside designed for the treatment of HIV by viral mutagenesis
AB We report the activities of a novel nucleoside analog against HIV. This nucleoside (KP-1212) is not a chain terminator but exerts its antiviral effects via mutagenesis of the viral genome. Serial passaging of HIV in the presence of KP-1212 causes an increase in the mutation rate of the virus leading to viral ablation. HIV strains resistant to KP-1212 have not yet been isolated. Quite to the contrary, virus treated with KP-1212 exhibited an increased sensitivity not only to KP-1212 but also to another nucleoside reverse transcriptase inhibitor (NRTI), zidovudine. HIV strains resistant to other NRTIs (e.g. zidovudine, lamivudine, stavudine, abacavir, etc.) exhibited no cross-resistance towards KP-1212. Multiple assays confirmed that KP-1212 has a favorable (low) genotoxicity profile when compared to some approved antiviral nucleosides. In addition, KP-1212 is not toxic to mitochondria nor does it exhibit any inhibitory effects on mitochondrial DNA synthesis.
AN 2005:512843 HCAPLUS <<LOGINID::20090601>>
DN 143:259548
TI KP-1212/1461, a nucleoside designed for the treatment of HIV by viral mutagenesis
AU Harris, Kevin S.; Brabant, William; Styrchak, Sheila; Gall, Alexander; Daifuku, Richard
CS Koronis Pharmaceuticals Inc., Redmond, WA, 98052, USA
SO Antiviral Research (2005), 67(1), 1-9
CODEN: ARSRDR; ISSN: 0166-3542
PB Elsevier B.V.
DT Journal
LA English
IT 114522-16-6, KP 1212
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(KP-1212/1461 for treatment of HIV by viral mutagenesis)
RN 114522-16-6 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Prodrugs of heteroaryl compounds for the treatment of viral infection and cancer
AB The invention provides hydrophobic prodrugs of bases, nucleosides, and nucleotides, as well as methods of using the prodrugs as antiviral and anticancer chemotherapeutic agents. Preparation of e.g. N4-nonyloxycarbonyl-β-2'-deoxy-5,6-dihydro-5-azacytidine is included.
AN 2004:1156447 HCAPLUS <<LOGINID::20090601>>
DN 142:86692
TI Prodrugs of heteroaryl compounds for the treatment of viral infection and cancer
IN Daifuku, Richard; Gall, Alexander; Sergueev, Dmitri; Sologub, Dina; Harris, Kevin
PA Koronis Pharmaceuticals, Incorporated, USA
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

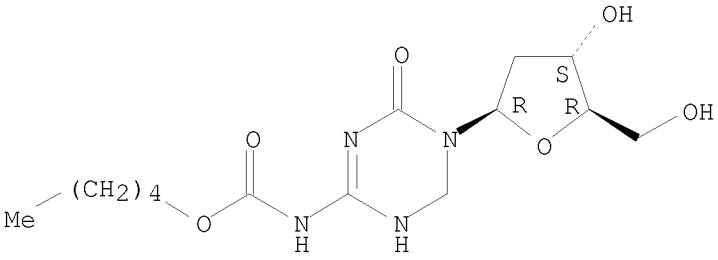
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004112716	A2	20041229	WO 2004-US19520	20040618
	WO 2004112716	A3	20050210		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004249245	A1	20041229	AU 2004-249245	20040618
	CA 2529500	A1	20041229	CA 2004-2529500	20040618
	EP 1635836	A2	20060322	EP 2004-755606	20040618
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	JP 2007523860	T	20070823	JP 2006-517393	20040618
PRAI	US 2003-480037P	P	20030620		
	WO 2004-US19520	W	20040618		

OS MARPAT 142:86692
IT 815588-83-1P 815588-84-2P 815588-85-3P
815588-86-4P 815588-87-5P 815588-88-6P
815588-89-7P 815588-90-0P 815588-91-1P

815588-92-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(hydrophobic prodrugs of bases, nucleosides, and nucleotides for treatment of viral infection and cancer)

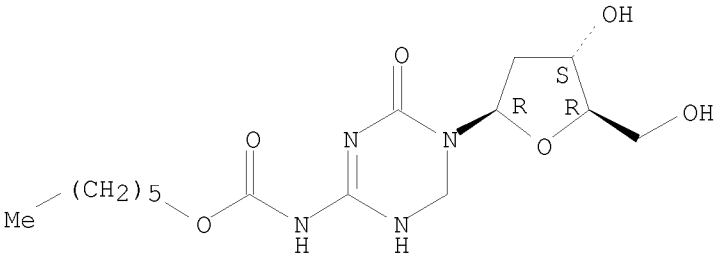
RN 815588-83-1 HCAPLUS
CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, pentyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



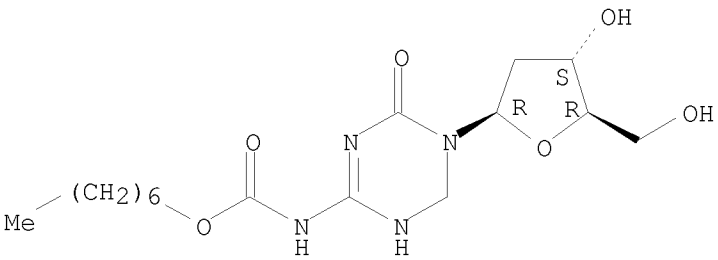
RN 815588-84-2 HCAPLUS
CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, hexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 815588-85-3 HCAPLUS
CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, heptyl ester (9CI) (CA INDEX NAME)

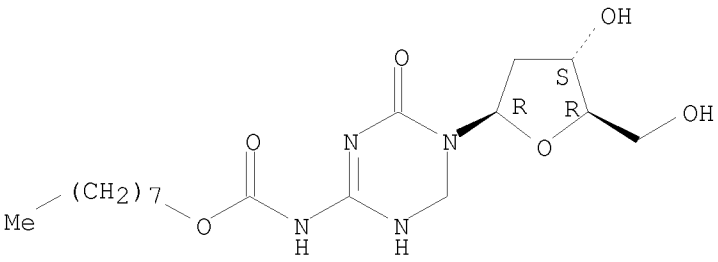
Absolute stereochemistry.



RN 815588-86-4 HCAPLUS

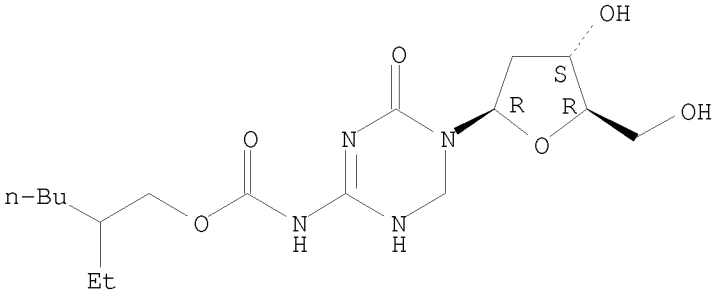
CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, octyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



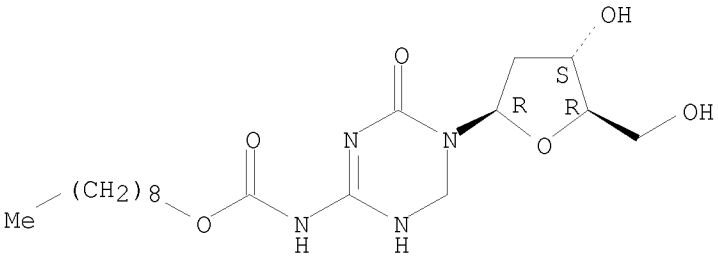
RN 815588-87-5 HCAPLUS
CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, 2-ethylhexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



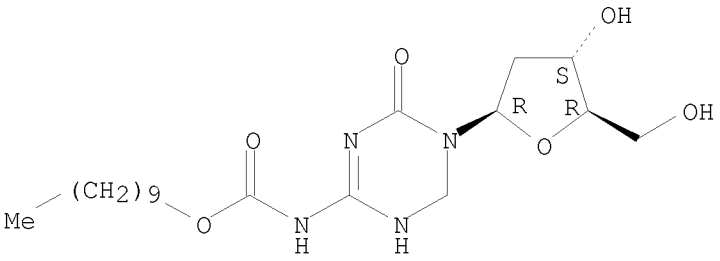
RN 815588-88-6 HCAPLUS
CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, nonyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



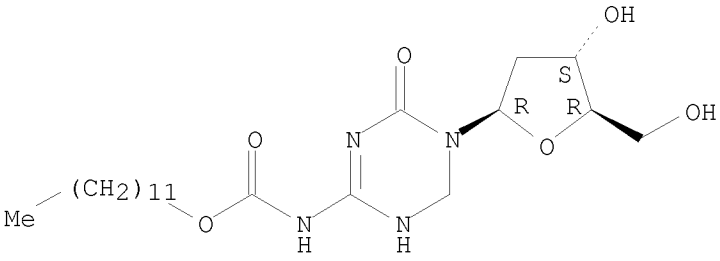
RN 815588-89-7 HCAPLUS
CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, decyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



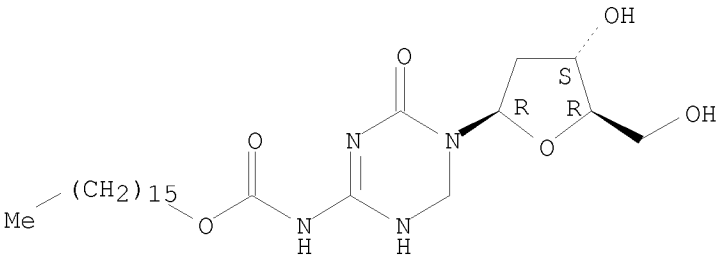
RN 815588-90-0 HCAPLUS
CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, dodecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



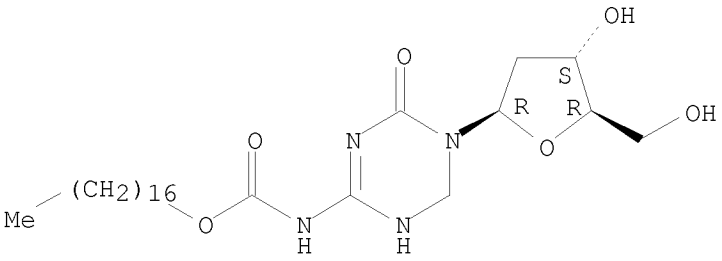
RN 815588-91-1 HCAPLUS
CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, hexadecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



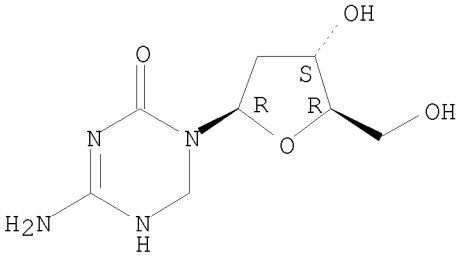
RN 815588-92-2 HCAPLUS
CN Carbamic acid, [5-(2-deoxy-β-D-erythro-pentofuranosyl)-1,4,5,6-tetrahydro-4-oxo-1,3,5-triazin-2-yl]-, heptadecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 114522-16-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrophobic prodrugs of bases, nucleosides, and nucleotides for treatment of viral infection and cancer)
RN 114522-16-6 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



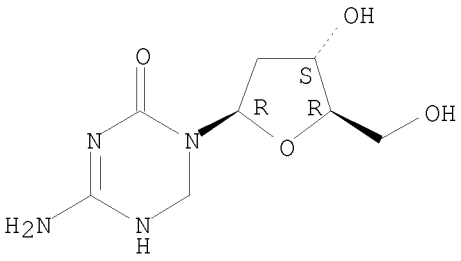
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Compounds, compositions and methods for modulating fat metabolism for treatment of metabolic disorders
AB Methods and compns. of identifying candidate compds., for modulating fat metabolism and/or inhibiting Apobec-1 activity are provided. The invention relates to compds. and pharmaceutical compns. which are useful for regulating fat metabolism and can be used for treatment of diseases and disorders selected from the group consisting of overweight, obesity, atherosclerosis, hypertension, non-insulin dependent diabetes mellitus, pancreatitis, hypercholesteremia, hypertriglyceridemia, hyperlipidemia.
AN 2004:368857 HCAPLUS <<LOGINID::20090601>>
DN 140:386000
TI Compounds, compositions and methods for modulating fat metabolism for treatment of metabolic disorders
IN Gaudriault, Georges; Kilinc, Ahmet; Bousquet, Olivier; Goupil-Lamy, Anne; Harosh, Itzik
PA Obetherapy Biotechnology, Fr.
SO PCT Int. Appl., 461 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

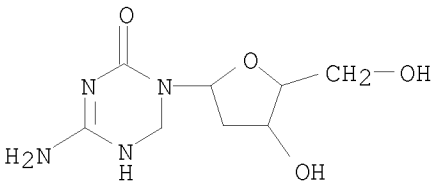
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 2004037159 A2 20040506 WO 2003-IL860 20031023
WO 2004037159 A3 20040715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2003274652 A1 20040513 AU 2003-274652 20031023
PRAI US 2002-420316P P 20021023
WO 2003-IL860 W 20031023
OS MARPAT 140:386000
IT 114522-16-6 686299-66-1D, stereoisomers
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compds., compns. and methods for modulating fat metabolism for treatment of metabolic disorders)
RN 114522-16-6 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

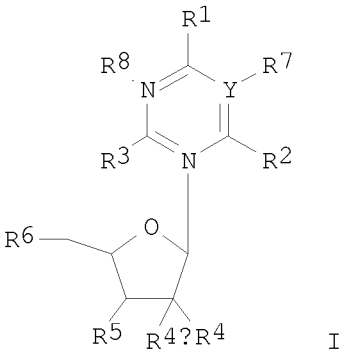
Absolute stereochemistry.



RN 686299-66-1 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxypentofuranosyl)-3,6-dihydro- (9CI) (CA INDEX NAME)



L6 ANSWER 14 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Treatment of viral diseases by 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof
GI



I

AB The invention discloses a genus of nucleoside or nucleotide analogs I [Y=C, CH, N; Z=C,CH,B; R1=H, acyl, NHNH2, etc; R2=absent, H, acyl, etc; R3=H, acyl, (un)substituted alkyl, etc.; R4, R4a=H, halo, OMe, OH; R5, R6=H, OR14 (R14= H, (un)substituted alkyl, etc.;) R7,R8=absent, H, acyl, etc.] for use as antiviral agents. In a first aspect, there is provided a compound according to Formula I as shown. In another aspect, the nucleoside and nucleotide analogs according to Formula I are used to treat a viral disease by administrating a therapeutically effective amount of a compound of Formula I to patient with a viral disease which is caused by an RNA virus, a DNA virus, a retrovirus, or HIV. Preparation of selected analogs is described.

AN 2004:290464 HCAPLUS <<LOGINID::20090601>>
DN 140:297477
TI Treatment of viral diseases by 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof
IN Daifuku, Richard; Gall, Alexander; Sergueev, Dmitri
PA Koronis Pharmaceuticals, Incorporated, USA
SO PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004028454	A2	20040408	WO 2003-US30200	20030924
	WO 2004028454	A3	20041118		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2499036	A1	20040408	CA 2003-2499036	20030924
	AU 2003278904	A1	20040419	AU 2003-278904	20030924
	EP 1545558	A2	20050629	EP 2003-770420	20030924
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006507255	T	20060302	JP 2004-539890	20030924
PRAI	US 2002-413337P	P	20020924		

WO 2003-US30200 W 20030924

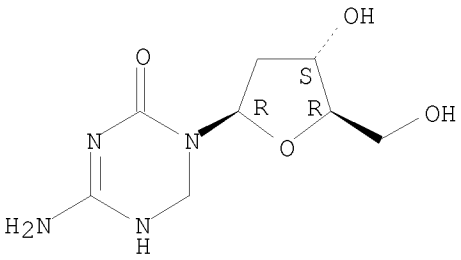
OS MARPAT 140:297477

IT 114522-16-6P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(treatment of viral diseases 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof)

RN 114522-16-6 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

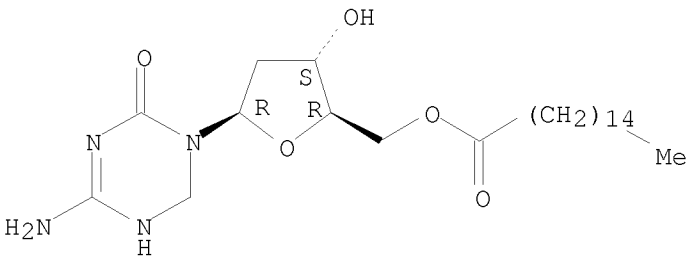


IT 676607-98-0P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(treatment of viral diseases 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof)

RN 676607-98-0 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-[2-deoxy-5-O-(1-oxohexadecyl)-β-D-erythro-pentofuranosyl]-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

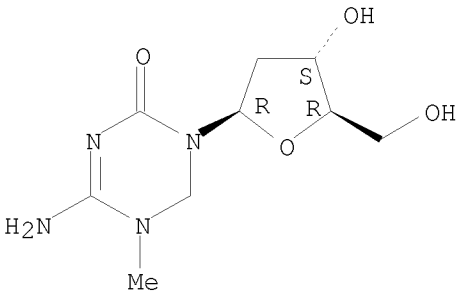


IT 676607-96-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(treatment of viral diseases 1,3,5-triazine nucleoside and nucleotide analogs, and preparation thereof)

RN 676607-96-8 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-5,6-dihydro-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN

TI Synthesis of N4-alkyl-5-azacytidines and their base-pairing with carbamoylguanidines - a contribution to explanation of the mutagenicity of 2'-deoxy-5-azacytidine

AB The high biol. activity of 5-azacytidine (I) and 2'-deoxy-5-azacytidine (II) is based on their structural and conformational resemblance with cytidine and 2'-deoxycytidine, which enables their incorporation into nucleic acids and subsequent covalent interaction of the reactive double bond in the 5,6 position of the 1,3,5-triazine ring with regulatory proteins. The CD spectra of N4-substituted 5-azacytidines indicate an anti conformation around the C-N glycosyl bond of these nucleosides similarly to unsubstituted 5-azacytidine. However, substitution of hydrogen atoms on the amino group of 5-azacytidine by the bulky alkyl groups prevents (predominantly because of steric hindrance) their incorporation into nucleic acids. This is probably the main reason for the low biol. activity in comparison with the N4-methyl-5-azacytidines and especially with the unsubstituted 5-azacytidine I. The formation of aggregates of carbamoylguanidines or their protonated forms with 5-azacytosines or cytosine, which represent in fact models for the base-pairing ability of carbamoylguanidine incorporated into DNA, is in agreement with the observed C:G-G:C transversion caused by 2'-deoxy-5-azacytidine II. The C:G-T:A transition, which was also observed in the mutational spectrum of II, could be explained by methylation at N-5 of 5-azacytosine-containing DNA and subsequent transformation to 5,6-dihydro-S-azathymine-containing DNA. This idea is supported by the microbial production of 5,6-dihydro- 5-azathymidine (III) and by a more recent investigation of Gabbara and Bhagwat, who have documented methylation at N-5 of 5-azacytosine-containing DNA. The formation of the stable dihydro derivative III has not been taken into consideration in any of the earlier studies on the mechanism of inhibition of DNA methylase by 2'-deoxy-5-azacytidine II.

AN 2003:277528 HCAPLUS <<LOGINID::20090601>>
DN 139:149866

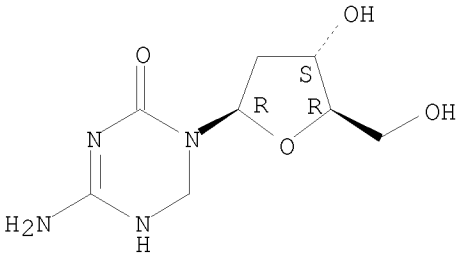
TI Synthesis of N4-alkyl-5-azacytidines and their base-pairing with carbamoylguanidines - a contribution to explanation of the mutagenicity of 2'-deoxy-5-azacytidine

AU Piskala, Alois; Hanna, Naeem B.; Masojidkova, Milena; Otmar, Miroslav; Fiedler, Pavel; Ubik, Karel
CS Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic, Prague, 166 10/6, Czech Rep.

SO Collection of Czechoslovak Chemical Communications (2003), 68(4), 711-743
CODEN: CCCCAK; ISSN: 0010-0765
PB Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic
DT Journal
LA English

OS CASREACT 139:149866
IT 114522-16-6
    RL: RCT (Reactant); RACT (Reactant or reagent)
    (synthesis of nalkylazacytidines and their basepairing with carbamoylguanidines contribution to explanation of mutagenicity of deoxyazacytidine)
RN 114522-16-6 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

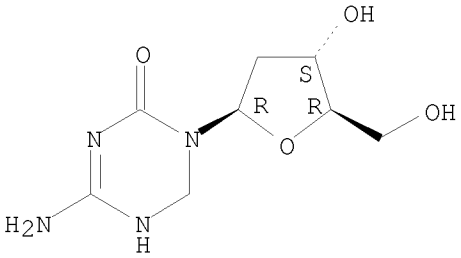


IT 570410-75-2P
    RL: SPN (Synthetic preparation); PREP (Preparation)
    (synthesis of nalkylazacytidines and their basepairing with carbamoylguanidines contribution to explanation of mutagenicity of deoxyazacytidine)
RN 570410-75-2 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro-, compd. with 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-1,3,5-triazin-2(1H)-one (1:1) (9CI) (CA INDEX NAME)

    CM 1

    CRN 114522-16-6
    CMF C8 H14 N4 O4

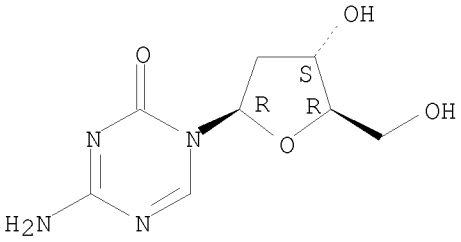
Absolute stereochemistry.



    CM 2

    CRN 2353-33-5
    CMF C8 H12 N4 O4

Absolute stereochemistry.



RE.CNT 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

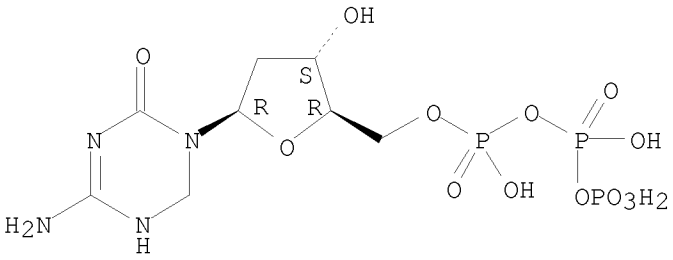
L6 ANSWER 16 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Biochemical pharmacology and DNA methylation studies of arabinosyl 5-azacytidine and 5,6-dihydro-5-azacytidine in two human leukemia cell lines PER-145 and PER-163
AB 1-β-D-Arabinofuranosyl-5-azacytosine (ara-AC) and 5,6-dihydro-5-azacytidine (DHAC) are two new antitumor agents under clin. investigations, which exhibit the chemical similarities found in the tumoricidal drug cytosine arabinoside (ara-C) and the nitrogen substitution in the 5 position of the pyrimidine ring found in 5-azacytidine (5-aza-C). The cellular anabolism of ara-AC and DHAC and their effect on DNA methylation have been examined in two new human leukemia cell lines, which are sensitive (PER-145) and resistant (PER-163) to ara-C. The triphosphate anabolite of ara-AC, ara-ACTP, was the major cellular anabolite in the cellular exts. of the PER-145 cells, reaching a cellular saturation concentration of 64.1 μM using 25 μM of the drug. Only trace levels of ara-ACTP were detected in the PER-163 cell line, which lacks deoxycytidine kinase, after exposure to a similar concentration Notably, after 1 mM, the ara-ACTP concentration averaged 12 μM. DHAC was anabolized by both cell lines to a similar degree but required much higher nucleoside concns. (100 μM or higher) to achieve similar cellular concns. of its triphosphate, DHACTP. Although the deoxy derivative, DHAdCTP, was detected in both cell lines, it was detected at 1-2 log10 lower concns. than DHACTP. DNA methylation studies showed that DHAC had a profound effect in inducing DNA hypomethylation in both cell lines, with nadir values of 27.3 and 29.2% of control. Ara-AC induced 45% DNA hypomethylation in PER-145 cells, but did not alter the DNA methylation pattern in PER-163 cells, except when they were exposed to 1 mM of the drug for 24 h. These results could be explained by the differential biochem. activation of these drugs in the human leukemia cell lines.
AN 1995:550185 HCAPLUS <<LOGINID::20090601>>
DN 123:25321
OREF 123:4480h,4481a
TI Biochemical pharmacology and DNA methylation studies of arabinosyl 5-azacytidine and 5,6-dihydro-5-azacytidine in two human leukemia cell lines PER-145 and PER-163
AU Kees, Ursula R.; Avramis, Vassilios I.
CS Inst. Child Health Res., Princess Margaret Hosp., West Perth, Australia
SO Anti-Cancer Drugs (1995), 6(2), 303-10
CODEN: ANTDEV; ISSN: 0959-4973
PB Rapid Science Publishers
DT Journal
LA English
IT 122277-00-3, DHAdCTP
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study);

FORM (Formation, nonpreparative)
(biochem. pharmacol. and DNA methylation studies of arabinosyl azacytidine and dihydroazacytidine in sensitive and resistant human leukemia cells)

RN 122277-00-3 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-[2-deoxy-5-O-[hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]phosphinyl]-β-D-erythro-pentofuranosyl]-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 17 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN

TI Polarographic reduction and potential carcinogenicity of synthetic 1,3,5-triazine bases and nucleosides

AB DC polarog. parameters were measured for a series of 15 synthetic 5-aza compds. derived from cytosine, cytidine, uracil and uridine in nonaq. (dimethylformamide) solns. The substances in aprotic media are reduced in a single two-electron step at the mercury drop electrode, except for 5,6-dihydro derivs. of 5-azauracil and 5-azauridine which are reduced in two steps. α-Lipoic acid was added to the solns. of the substances, and the slopes tg α of the plots of diffusion current of the substances vs. α-lipoic acid concentration, which can serve as an index of potential carcinogenic activity of the substances measured, were determined The tg α values of all the compds. studied are low as compared to related substances whose carcinogenic activity has been proved. 5-Azacytidine and 5-azauracil are exceptions exhibiting tg α values of 0.295 and 0.400, resp. For the former compound, this is consistent with the WHO classification as "probably carcinogenic to humans".

AN 1994:570013 HCAPLUS <<LOGINID::20090601>>

DN 121:170013

OREF 121:30587a,30590a

TI Polarographic reduction and potential carcinogenicity of synthetic 1,3,5-triazine bases and nucleosides

AU Novotny, Ladislav; Vachalkova, Anna; Piskala, Alois

CS Cancer Research Institute, Slovak Academy Sciences, Bratislava, 812 32, Slovakia

SO Collection of Czechoslovak Chemical Communications (1994), 59(7), 1691-8
CODEN: CCCCAK; ISSN: 0010-0765

DT Journal

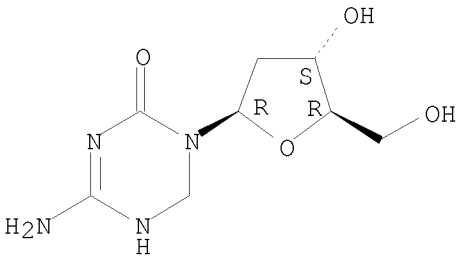
LA English

IT 114522-16-6, 2'-Deoxy-5,6-Dihydro-5-azacytidine
RL: PRP (Properties)
(polarog. reduction potential of, carcinogenicity in relation to)

RN 114522-16-6 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 18 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN

TI Formation of triple helix complexes of single stranded nucleic acids using oligonucleotides

AB Triplex helix structure with a specific segment of single-stranded nucleic acid can be formed with 1st and 2nd oligomers comprised of nucleosidyl units linked by internucleosidyl phosphorus linkages . The 1st oligomer is sufficiently complementary to the target segment to form duplex and the 2nd oligomer has ≥7 nucleotidyl units that are sufficiently complementary to hybridize with the duplex to form triplex. Upon formation of the triple helix the nucleic acids of interest may be detected and its function or expression prevented. The 1st and 2nd oligomers may comprise an oligonucleotide, an alkyl- or aryl-phosphonothioate oligomer, or other analogs, e.g. methylphosphonate oligomers. They may also contain uncharged neutral oligomers and purine or pyrimidine analogs, e.g., 2'-O-Me-pseudoisocytidine, 6-Se-guanine, or 6-isopropylidene-7-deaza-guanidine. One of applications of this method is to inhibit in vivo synthesis of a protein by targeting its mRNA, which can be used for treatment of diseases, e.g. viral infections and cancers.

AN 1993:575369 HCAPLUS <<LOGINID::20090601>>

DN 119:175369

OREF 119:31207a,31210a

TI Formation of triple helix complexes of single stranded nucleic acids using oligonucleotides

IN Ts'O, Paul On Pong; Adams, Thomas Henry; Arnold, Lyle J., Jr.

PA Johns Hopkins University, USA; Genta Inc.

SO PCT Int. Appl., 98 pp.
CODEN: PIXXD2

DT Patent

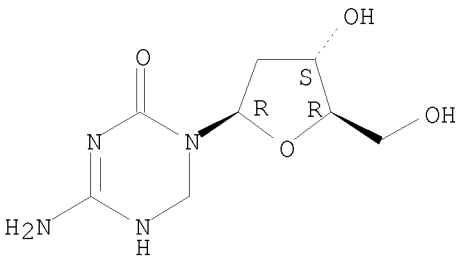
LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9307295	A1	19930415	WO 1992-US8458	19921005
	W: AU, CA, FI, JP, KR, NO, RU				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
	AU 9227852	A	19930503	AU 1992-27852	19921005
	JP 07501936	T	19950302	JP 1992-507113	19921005
	EP 650526	A1	19950503	EP 1992-921942	19921005
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
	US 5834185	A	19981110	US 1994-342647	19941121
	AU 9724881	A	19970904	AU 1997-24881	19970613
PRAI	US 1991-772081	A	19911007		
	US 1986-924234	B2	19861028		
	US 1989-368027	B2	19890619		
	WO 1992-US8458	A	19921005		
	US 1992-978937	B1	19921118		
	US 1994-194731	B1	19940210		

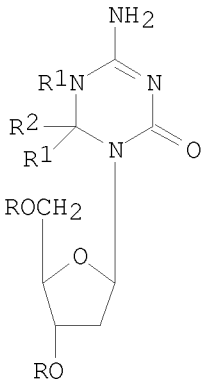
IT 114522-16-6
RL: USES (Uses)
(oligonucleotide containing, diagnosis or inhibition of nucleic acid function by triple helix formation with)
RN 114522-16-6 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 19 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of 2'-deoxy-5,6-dihydro-5-azacytidine as a new 2'-deoxycytidine analog
GI



I

AB The title compound (I; R = R1 = R2 = H) (II) a new 2'-deoxycytidine analog having a N atom as an isoelectronic replacement for the CH group in the position 5, was prepared by reduction of (un)protected 2'-deoxy-5-azacytidine I (R = H, acyl; R1R1= bond, R2 = H) by 5-10 equiv Zn in an anhydrous C1-4 carboxylic acid, e.g. AcOH, at room temperature followed by deprotection (when appropriate) and/or neutralization by a nontoxic (in)organic acid. When R = acyl, the reduction was carried out in the presence of an excess MeC(OMe)2Me. Thus, a mixture of AcOH and MeC(OMe)2Me was allowed to stand for 24 h at room temperature and treated with Zn powder and then with 2'-deoxy-3',5'-di-O-p-toluoyl-5-azacytidine. The whole was stirred vigorously for 2.5 h at the ambient temperature to give 76% of the 5,6-dihydro

intermediate isolated as an acetate. This in MeOH was stirred 24 h at ambient temperature with 1M MeONa in MeOH to give 84% II which was converted to II.HOAc (90%).

AN 1990:631939 HCAPLUS <<LOGINID::20090601>>
DN 113:231939
OREF 113:39156h,39157a
TI Preparation of 2'-deoxy-5,6-dihydro-5-azacytidine as a new 2'-deoxycytidine analog
IN Piskala, Alois; Cesnekova, Barbara; Vesely, Jiri
PA Czech.
SO Czech., 5 pp.
CODEN: CZXXA9
DT Patent
LA Czech
FAN.CNT 1

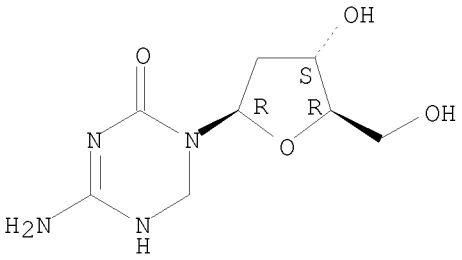
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI CS 264454	B1	19890814	CS 1987-6304	19870828
PRAI CS 1987-6304		19870828		

OS MARPAT 113:231939
IT 130530-59-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 130530-59-5 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro-, acetate (9CI) (CA INDEX NAME)

CM 1

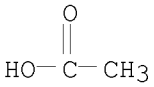
CRN 114522-16-6
CMF C8 H14 N4 O4

Absolute stereochemistry.



CM 2

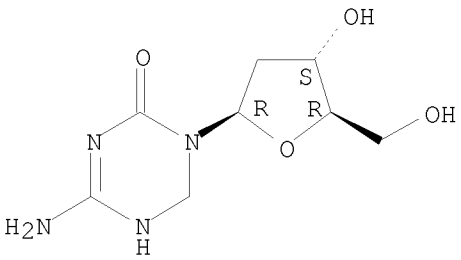
CRN 64-19-7
CMF C2 H4 O2



IT 114522-16-6P, 2'-Deoxy-5,6-dihydro-5-azacytidine
RL: SPN (Synthetic preparation); PREP (Preparation)

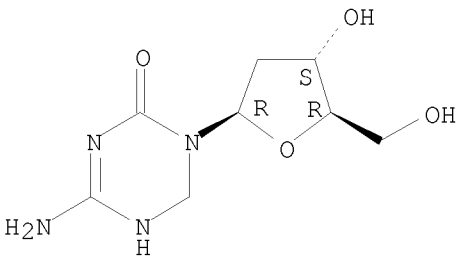
(preparation of, by reduction of deoxyazacytidine)
RN 114522-16-6 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 20 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Synthesis of oligonucleotides containing 5,6-dihydro-5-azacytosine and 5-azacytosine at specific CpG sites
AB A symposium communication on the quant. conversion of dihydro-5-azacytosine (5-DHAC) to 5-azacytosine (5-AC) in a dihydro-5-azacytidine/thymidine dimer (5-DHACpT). This newly developed procedure allows similar possibilities with longer, 5-DHAC-modified oligodeoxynucleotides.
AN 1990:99111 HCAPLUS <<LOGINID::20090601>>
DN 112:99111
OREF 112:16875a,16878a
TI Synthesis of oligonucleotides containing 5,6-dihydro-5-azacytosine and 5-azacytosine at specific CpG sites
AU Goddard, Amanda J.; Marquez, Victor E.
CS Lab. Med. Chem., Natl. Cancer Inst., Bethesda, MD, 20892, USA
SO Nucleosides & Nucleotides (1989), Volume Date 1988, 8(5-6), 1015-18
CODEN: NUNUD5; ISSN: 0732-8311
DT Journal
LA English
IT 114522-16-6
RL: PROC (Process)
(conversion of, to azacytosine)
RN 114522-16-6 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 21 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN

TI Cellular metabolism of 5,6-dihydro-5-azacytidine and its incorporation into DNA and RNA of human lymphoid cells CEM/O and CEM/dCk(-)

AB 5,6-Dihydro-5-azacytidine (DHAC) is a hydrolytically stable analog of 5-azacytidine (5-aza-C) that has antileukemic activity against exptl. leukemias and, like 5-aza-C, causes DNA hypomethylation. The authors report the cellular metabolism of DHAC and its incorporation into nucleic acids in the CCRF/CEM/O and deoxycytidine kinase mutant CCRF/CEM/dCk(-) human lymphoid cell lines. The major anabolite of [3H]DHAC, [3H]DHACTP, peaked at 110.3 μM in CEM/O and at 96.3 μM in CEM/dCk(-) cells at 9 and 12 h, resp. The intracellular concns. of the deoxyribonucleoside triphosphate, [3H]DHAdCTP, peaked at 13.5 μM at 4 h in CEM/O and at 80.8 μM at 12 h, a 6-fold greater cellular concentration, in the dCk mutant cell line. The amount of DHAC anabolites incorporated into CEM/O nucleic acids reached a plateau in RNA at 552.6 pmol/107 cells and in DNA at 64.55 pmol/107 cells. In CEM/dCk(-) cells, DHAC anabolites reached a plateau in RNA and DNA at 4,256.3 and 395.5 pmol/107 cells, resp. Thus, with equitoxic treatments of DHAC, the incorporation of its analog anabolites into RNA and DNA was 8- and 6-fold greater in CEM/dCk(-) cells. DNA methylation levels were depressed equally despite a 6-fold greater incorporation of the analog in DNA in the CEM/dCk(-) cells, indicating that hypomethylation may be saturated after DHAC treatment. The DNA methylation levels reached a nadir of 0.19% and 0.20% methyl-C (percentage of methylation) in the two cell lines at 6 and 12 h after the beginning of drug treatment and remained relatively constant for the duration of the 24-h treatment. A curvilinear relationship was obtained between the DNA methylation levels in both cell lines and the amts. of DHAC anabolite incorporated into DNA.

AN 1989:489722 HCAPLUS <<LOGINID::20090601>>

DN 111:89722

OREF 111:14893a,14896a

TI Cellular metabolism of 5,6-dihydro-5-azacytidine and its incorporation into DNA and RNA of human lymphoid cells CEM/O and CEM/dCk(-)

AU Avramis, Vassilios I.; Powell, William C.; Mecum, Robert A.

CS Sch. Med., Univ. South. California, Los Angeles, CA, 90027, USA

SO Cancer Chemotherapy and Pharmacology (1989), 24(3), 155-60
CODEN: CCPHDZ; ISSN: 0344-5704

DT Journal

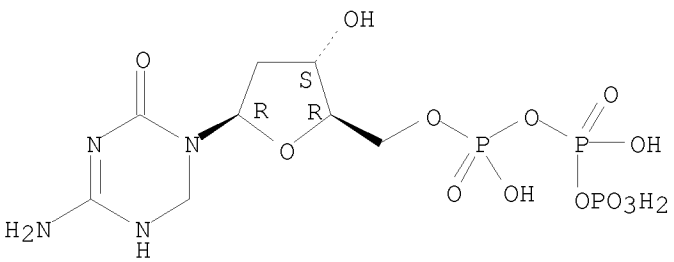
LA English

IT 122277-00-3, DHAdCTP
RL: FORM (Formation, nonpreparative)
(formation of, as dihydroazacytidine metabolite in leukemia cells of humans, nucleic acid formation and methylation in relation to)

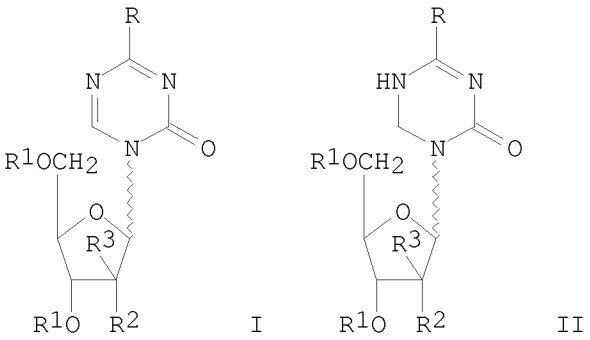
RN 122277-00-3 HCAPLUS

CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-[2-deoxy-5-O-[hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]phosphinyl]-β-D-erythro-pentofuranosyl]-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 22 OF 22 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation and biological activity of 5,6-dihydro-5-azapyrimidine nucleosides
GI

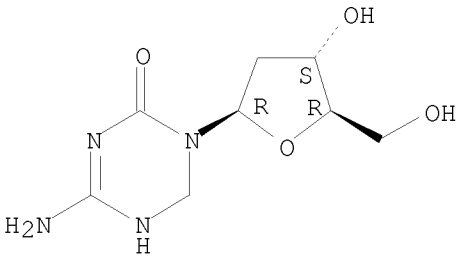


I II

AB The reaction of 5-azapyrimidine nucleosides I (R = NH2, R1 = R3 = H, R2 = OH, β-anomer; R = NH2, R1 = R2 = R3 = H, α- or β-anomer; R = R2 = OH, R1 = R3 = H, β-anomer; etc., 9 compds.) with zinc powder in AcOH afforded the resp. 5,6-dihydro derivs. II in high yields. This procedure represents a convenient and general method for preparation of the title compds. The effects of some dihydro-5-azapyrimidine nucleosides on the growth in vitro of L1210 mouse leukemic cells were estimated

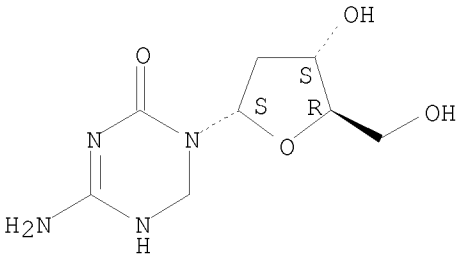
AN 1988:423285 HCAPLUS <<LOGINID::20090601>>
DN 109:23285
OREF 109:3997a,4000a
TI Preparation and biological activity of 5,6-dihydro-5-azapyrimidine nucleosides
AU Piskala, Alois; Cesnekova, Barbara; Vesely, Jiri
CS Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, 166 10, Czech.
SO Nucleic Acids Symposium Series (1987), 18(Symp. Chem. Nucleic Acid Compon., 7th, 1987), 57-60
CODEN: NACSD8; ISSN: 0261-3166
DT Journal
LA English
OS CASREACT 109:23285
IT 114522-16-6P 114522-17-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 114522-16-6 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-β-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



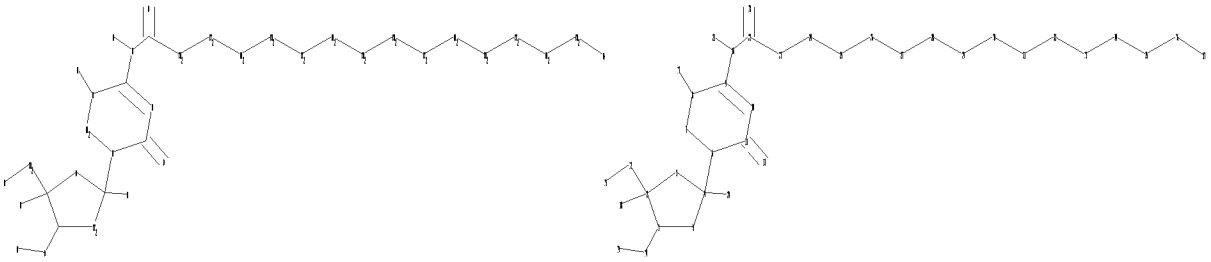
RN 114522-17-7 HCAPLUS
CN 1,3,5-Triazin-2(1H)-one, 4-amino-1-(2-deoxy-α-D-erythro-pentofuranosyl)-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10670915amended3.str



chain nodes :
12 13 14 15 16 17 18 19 20 21 22 23 24 25 26 27 28 29 30 31 32
33 34 35 36 37 38
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
1-14 2-12 2-18 4-6 4-20 8-17 9-16 11-13 12-15 14-19 16-21 16-22 22-23
22-38 23-24 24-25 25-26 26-27 27-28 28-29 29-30 30-31 31-32 32-33 33-34
34-35 35-36
36-37

```
ring bonds :
1-2  1-5  2-3  3-4  4-5  6-7  6-11  7-8  8-9  9-10  10-11
exact/norm bonds :
1-2  1-5  1-14  2-3  3-4  4-5  4-6  6-7  6-11  7-8  8-9  9-10  9-16  10-11  11-13
16-22  22-38
exact bonds :
2-12  2-18  4-20  8-17  12-15  14-19  16-21  22-23  23-24  24-25  25-26  26-27
27-28
28-29  29-30  30-31  31-32  32-33  33-34  34-35  35-36  36-37


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:CLASS  13:CLASS  14:CLASS  15:CLASS  16:CLASS  17:CLASS  18:CLASS
19:CLASS  20:CLASS
21:CLASS  22:CLASS  23:CLASS  24:CLASS  25:CLASS  26:CLASS  27:CLASS  28:CLASS
29:CLASS  30:CLASS
31:CLASS  32:CLASS  33:CLASS  34:CLASS  35:CLASS  36:CLASS  37:CLASS  38:CLASS



L7      STRUCTURE UPLOADED

=> s l7
SAMPLE SEARCH INITIATED 16:22:30 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       67 TO ITERATE

100.0% PROCESSED       67 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          849 TO     1831
PROJECTED ANSWERS:               0 TO        0

L8            0 SEA SSS SAM L7

=> d l7
L7 HAS NO ANSWERS
L7              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> s l7 sub=l5
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):full
FULL SUBSET SEARCH INITIATED 16:23:09 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -        0 TO ITERATE

100.0% PROCESSED        0 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L9            0 SEA SUB=L5 SSS FUL L7
```